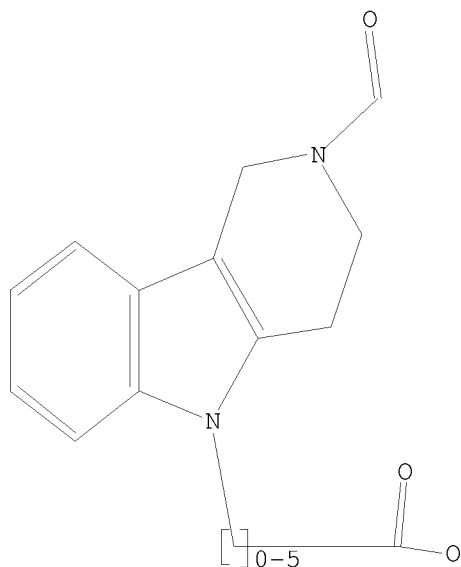


L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:02:17 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 73 TO ITERATE

100.0% PROCESSED 73 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 948 TO 1972

PROJECTED ANSWERS: 8 TO 329

L2 8 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 14:02:22 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1419 TO ITERATE

100.0% PROCESSED 1419 ITERATIONS

191 ANSWERS

SEARCH TIME: 00.00.01

L3 191 SEA SSS FUL L1

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10598777

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	185.88	186.10

FILE 'CAPLUS' ENTERED AT 14:02:26 ON 04 DEC 2009
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FILE COVERS 1907 - 4 Dec 2009 VOL 151 ISS 24
FILE LAST UPDATED: 3 Dec 2009 (20091203/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

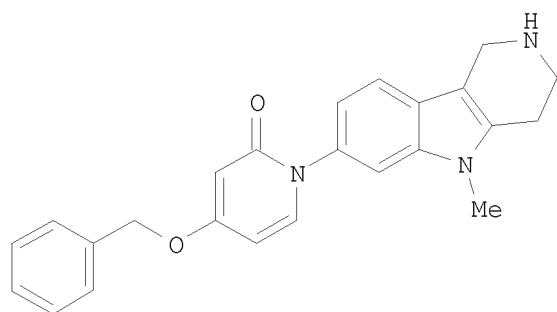
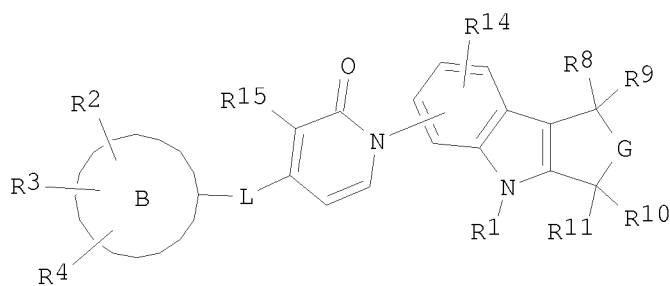
This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 8 L3

=> d abs fbib fhitr 1-8

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
GI



AB The invention relates to pyridoindole derivs. of formula I, which are MCH antagonists and useful in the treatment of various diseases. Compds. of formula I wherein R1 is H and (un)substituted alkyl; R2-R4 are independently H, alkoxy, alkylthio, alkyl, halo, CF3 and CN; G is (un)substituted -CH2NH- and derivs. and (un)substituted -NHCH2 and derivs.; R8-R11 are independently H and (un)substituted alkyl; R14 and R15 are independently H and halogen; L is -CH2O-, -CH2CH2-, -CH=CH- and a bond; B is (hetero)aryl and cycloalkyl; with the proviso that, when L is a direct bond, B cannot be unsubstituted heteroaryl or heteroaryl monosubstituted with fluorine; are claimed. Example compound II•HCl was prepared via cyclization of 3-bromophenylhydrazine with N-Boc-4-oxopiperidine; the resulting tert-Bu 7-bromo-3,4-dihydro-1H-pyrido[4,3-b]indole-2(5H)-carboxylate underwent N-methylation to give tert-Bu 7-bromo-5-methyl-3,4-dihydro-1H-pyrido[4,3-b]indole-2(5H)-carboxylate, which underwent condensation with 4-benzyloxypyridin-2(1H)-one to give tert-Bu 7-[4-benzyloxy-2-oxypyridin-1(2H)-yl]-5-methyl-3,4-dihydro-1H-pyrido[4,3-b]indole-2(5H)-carboxylate, which underwent hydrolysis to give II•HCl. All the invention compds. were evaluated for their MCH1 antagonistic activity. From the assay, it was determined that the tested compds. exhibited the Ki values of $\leq 3.5 \mu\text{M}$.

AN 2009:855442 CAPLUS

DN 151:173472

TI Pyridoindole derivatives as MCH antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases

IN Guzzo, Peter; Surman, Matthew David; Henderson, Alan John; Jiang, May Xiaowu; Hadden, Mark; Grabowski, James

PA Albany Molecular Research, Inc., USA

SO PCT Int. Appl., 311pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2009089482	A1	20090716	WO 2009-US30646	20090109
	W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				US 2008-20530P	P 20080111
				US 2008-48677P	P 20080429
	US 20090275590	A1	20091105	US 2009-351561	20090109
				US 2008-20530P	P 20080111
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OS MARPAT 151:173472

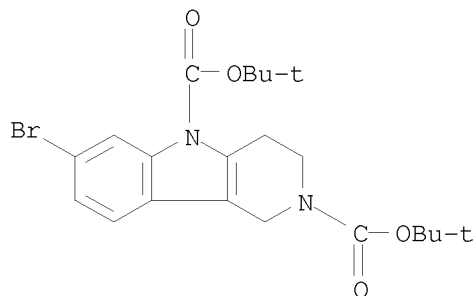
IT 1173158-34-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyridoindole derivs. as MCH antagonists useful in the treatment of diseases)

RN 1173158-34-3 CAPLUS

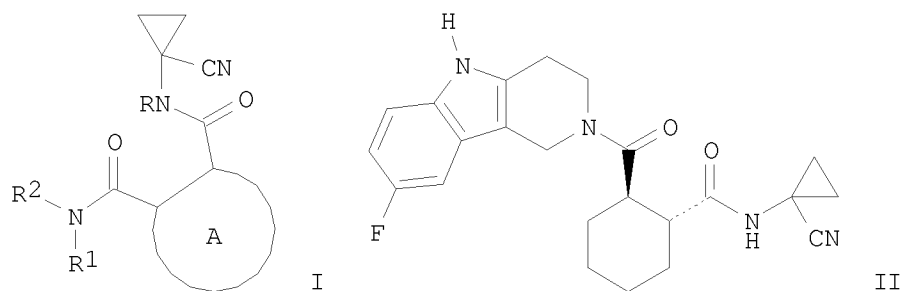
CN 1H-Pyrido[4,3-b]indole-2,5-dicarboxylic acid, 7-bromo-3,4-dihydro-, 2,5-bis(1,1-dimethylethyl) ester (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

GI



AB The invention relates to compds. of formula I for treating diseases associated with cysteine protease activity. The compds. are reversible inhibitors of cysteine proteases, including cathepsins. Of particular interest are diseases associated with cathepsin K. Compds. of formula I wherein ring A is (un)substituted 5- to 7-membered (hetero)aliphatic ring; R is H and C1-6 alkyl; R1R2 taken together with N atom to which they are attached form a (un)substituted (mono/bi/tri)cyclic 5- to 7-membered (un)saturated heterocyclic ring system; and pharmaceutically acceptable salts thereof are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their Cat K inhibitory activity. From the assay, it was determined that the example compound II exhibited pIC50 value 9.071.

AN 2009:4197 CAPLUS

DN 150:98296

TI Cyanocyclopropylcarboxamides as cathepsin inhibitors and their preparation and use in the treatment of diseases

IN Dossetter, Alexander Graham; Heron, Nicola Murdoch

PA Astrazeneca AB, Swed.; Astrazeneca UK Limited

SO PCT Int. Appl., 98pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2009001129	A1	20081231	WO 2008-GB50486	20080624
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				US 2007-946178P	P 20070626
				US 2008-42840P	P 20080407
	US 20090012077	A1	20090108	US 2008-145855	20080625
				US 2007-946178P	P 20070626

US 2008-42840P P 20080407

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 150:98296

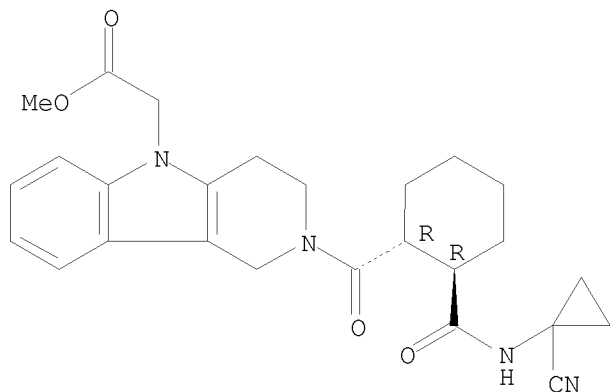
IT 1095263-46-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate and intermediate; preparation of
 cyanocyclopropylcarboxamides as cathepsin inhibitors useful in
 treatment of diseases)

RN 1095263-46-9 CAPLUS

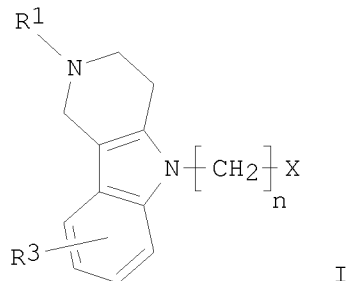
CN 5H-Pyrido[4,3-b]indole-5-acetic acid,
 2-[[[(1R,2R)-2-[[[(1-cyanocyclopropyl)amino]carbonyl]cyclohexyl]carbonyl]-
 1,2,3,4-tetrahydro-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
 GI



AB Novel substituted 2,3,4,5-tetrahydro-1H-pyrido[4,3-b]indoles I (1.1-1.3;

10598777

R1 = H, C1-5 alkyl, ω -alkoxycarbonylalkyl; R3 = H, halo, C1-3 alkyl, fluoroalkyl, preferably R3 = H, CF₃, F, Me, alkoxycarbonyl; X = alkoxycarbonyl, aryl; n = 1-4, preferably n = 1, 2) were prepared by addition of 5-unsubstituted I to acrylates, by reductive amination of aldehydes R1CHO by 2-unsubstituted I, Fischer cyclization of arylhydrazines with 4-piperidinones and benzylation of I in 5-position. In an example, reaction of 2-Boc-protected 2,3,4,5-tetrahydro-1H-pyrido[4,3-b]indole with Et bromoacetate yielded I (R1 = Boc, R3 = H, X = CO₂Et, n = 1), which was deprotected and alkylated in 2-position by MeI giving I (R1 = Me, R3 = H, X = CO₂Et, n = 1). In another example, inhibition of H1-histamine receptor by compds. I was evaluated, the EC₅₀ values varying in a range 0.05-10 μ M. Preparation of pharmaceutically acceptable salts and/or hydrates of the compds. I is also claimed.

AN 2008:1155905 CAPLUS

DN 149:378711

TI Substituted 2,3,4,5-tetrahydro-1H-pyrido[4,3-b]indoles as novel antihistaminic agents and processes for preparation thereof

IN Ivashchenko, Andrey Alexandrovich; Ivashchenko, Alexander Vasilievich; Tkachenko, Sergey Yevgenievich; Okun, Ilya Matusovich; Savchuk, Nikolay Filippovich; Mitkin, Oleg Dmitrievich; Kravchenko, Dmitri Vladimirovich

PA Alla Chem, LLC, USA

SO PCT Int. Appl., 73pp.

CODEN: PIXXD2

DT Patent

LA Russian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008115098	A2	20080925	WO 2008-RU169	20080321
	WO 2008115098	A3	20081113		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

RU 2338745	C1	20081120	RU 2007-110379	A	20070321
MARPAT 149:378711			RU 2007-110379		20070321

OS 866459-02-1P

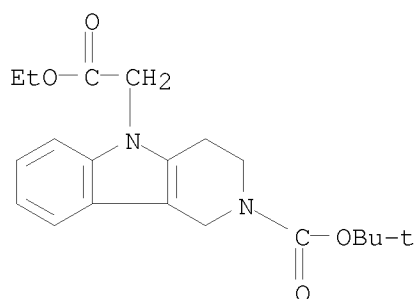
IT 866459-02-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

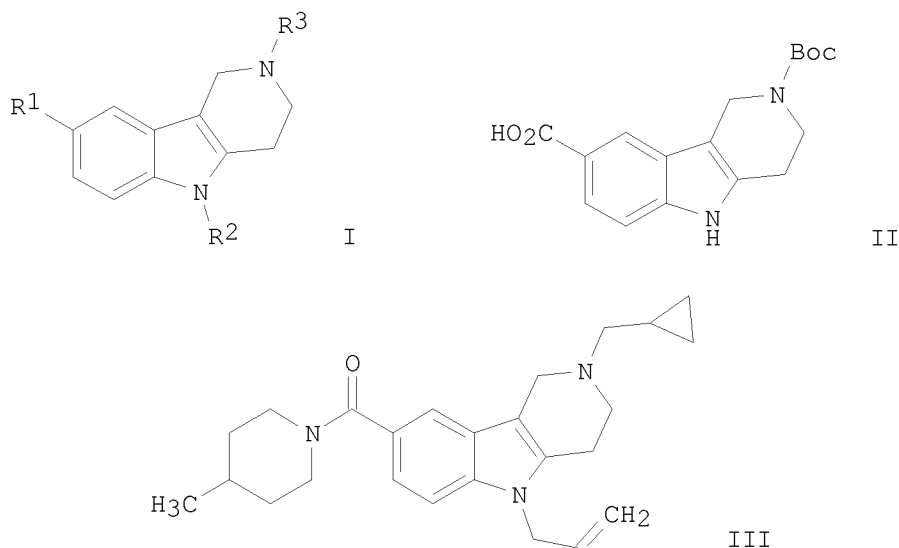
(preparation of substituted 2,3,4,5-tetrahydro-1H-pyrido[4,3-b]indoles as antihistamines for treatment of allergic and autoimmune conditions)

RN 866459-02-1 CAPLUS

CN 5H-Pyrido[4,3-b]indole-5-acetic acid,
2-[(1,1-dimethylethoxy)carbonyl]-1,2,3,4-tetrahydro-, ethyl ester (CA INDEX NAME)



L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
GI



AB Title compds. I [wherein R1= carbonyl, carbonylamino, ureido, etc.; R2 = H, alkyl, alkylsulfonyl, etc.; R3 = heterocycloalkyl, (un)substituted alkyl, alkylcarbonyl, etc.] and pharmaceutically acceptable salts, diastereomers, enantiomers or mixts. thereof were prepared as ligands of CB1 receptors. For instance, cyclocondensation of 4-hydrazinobenzoic acid hydrochloride with 4-piperidinone monohydrate hydrochloride followed by N-protection with Boc2O gave tetrahydropyrido[4,3-b]indole II in 48.7% yield (two steps). Chemical manipulation on the carboxy and two amine functional groups led to a lot of I, such as III. I were found to be active towards human CB1 receptors (no data). Therefore, the invented compds. and their pharmaceutical compns. are useful for the management of pain and so on.

AN 2006:1011257 CAPLUS

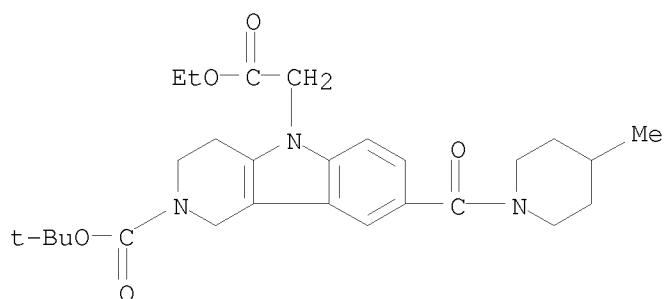
DN 145:377318

TI Preparation of 2,3,4,5-tetrahydro-1H-pyrido[4,3-b]indole derivatives as
 CB1 receptor ligands for the treatment of pain and other diseases
 IN Cheng, Yun-Xing; Tomaszewski, Mirosław
 PA Astrazeneca AB, Swed.
 SO PCT Int. Appl., 267pp.
 CODEN: PIXXD2

DT Patent
 LA English

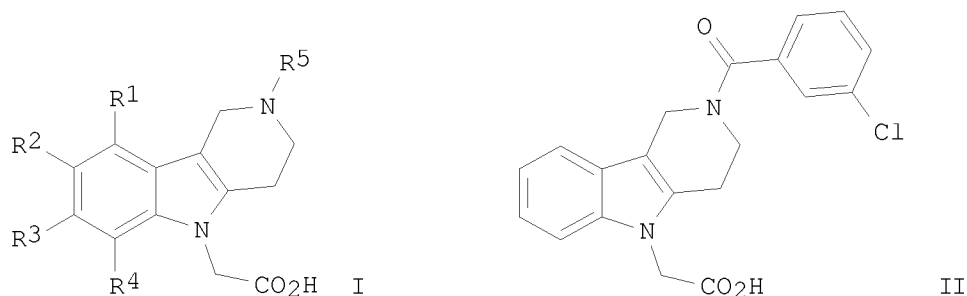
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006101434	A1	20060928	WO 2006-SE339	20060317
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				SE 2005-654	A 20050322
EP	1863810	A1	20071212	EP 2006-717024	20060317
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
				SE 2005-654	A 20050322
				WO 2006-SE339	W 20060317
JP	2008534496	T	20080828	JP 2008-502944	20060317
				SE 2005-654	A 20050322
				WO 2006-SE339	W 20060317
CN	101175754	A	20080507	CN 2006-80016809	20071115
				SE 2005-654	A 20050322
				WO 2006-SE339	W 20060317
OS	CASREACT 145:377318; MARPAT 145:377318				
IT	910799-67-6P				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
	(preparation of tetrahydropyridoindoles as CB1 receptor ligands for the treatment of pain and other diseases)				
RN	910799-67-6 CAPLUS				
CN	5H-Pyrido[4,3-b]indole-5-acetic acid, 2-[(1,1-dimethylethoxy)carbonyl]-1,2,3,4-tetrahydro-8-[(4-methyl-1-piperidinyl)carbonyl]-, ethyl ester (CA INDEX NAME)				



OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
 RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
 GI



AB Title compds. I [wherein R1 - R4 = H, alkyl, alkoxy, halo, etc.; R5 = substituted alkyl, carbonyl or (thio)carbamoyl; with two exclusions, or stereoisomers, mixts. and salts thereof] were prepared as CRTH2 receptor antagonists. The tetrahydropyridoindole skeletons in I were synthesized from phenylhydrazines and 4-piperidone monohydrate hydrochloride using Fischer's method. For instance, II, which had IC50 values of 0.015 μ M and 0.174 μ M for CRTH2 receptors in the binding and intracellular calcium mobilization assays, resp., was provided. Therefore, I and their pharmaceutical compns. are useful for the prevention or treatment of prostaglandin-mediated diseases, such as allergic and immune disorders.

AN 2005:1103778 CAPLUS

DN 143:367289

TI Preparation of tetrahydropyridoindole derivatives as CRTH2 receptor antagonists for the treatment of prostaglandin-mediated diseases

IN Fretz, Heinz; Fecher, Anja; Hilpert, Kurt; Riederer, Markus

PA Actelion Pharmaceuticals Ltd, Switz.

SO PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DT Patent

LA English
FAN.CNT 1

PI	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005095397	A1	20051013	WO 2005-EP2362	20050307	
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005229356	A1	20051013	WO 2004-EP2493	A 20040311	
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			WO 2004-EP2493	A 20040311	
			WO 2005-EP2362	W 20050307	
CA 2558509	A1	20051013	CA 2005-2558509	20050307	
			WO 2004-EP2493	A 20040311	
			WO 2005-EP2362	W 20050307	
EP 1725553	A1	20061129	EP 2005-715779	20050307	
EP 1725553	B1	20080507			
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			WO 2004-EP2493	A 20040311	
			WO 2005-EP2362	W 20050307	
CN 1930162	A	20070314	CN 2005-80007699	20050307	
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			WO 2005-EP2362	W 20050307	
JP 2007526276	T	20070913	JP 2007-501238	20050307	
JP 4051398	B2	20080220			
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AT 394399	T	20080515	AT 2005-715779	20050307	
			WO 2004-EP2493	A 20040311	
ES 2304010	T3	20080901	ES 2005-715779	20050307	
			WO 2004-EP2493	A 20040311	
KR 2007029670	A	20070314	KR 2006-718133	20060906	
KR 808742	B1	20080229			
			EP 2004-2493	W 20040311	
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MX 2006010356	A	20061110	MX 2006-10356	20060911	
			WO 2004-EP2493	A 20040311	
			WO 2005-EP2362	W 20050307	
US 20070191416	A1	20070816	US 2006-598777	20060911	
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NO 2006004584	A	20061010	NO 2006-4584	20061010	
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ZA 2006008446	A	20080625	WO 2005-EP2362	W	20050307
			ZA 2006-8446		20061010
			WO 2004-EP2493	A	20040311
IN 2006CN03768	A	20070622	IN 2006-CN3768		20061011
			WO 2004-EP2493	A	20040311
			WO 2005-EP2362	W	20050307

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 143:367289; MARPAT 143:367289

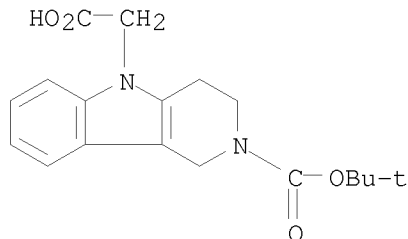
IT 168824-93-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(antagonist; preparation of tetrahydropyridoindole derivs. as CRTH2 receptor
antagonists)

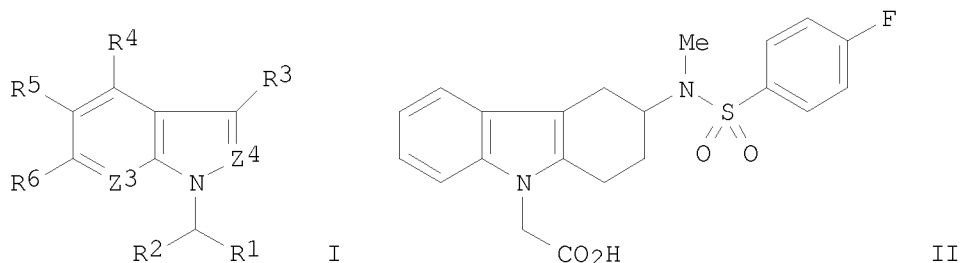
RN 168824-93-9 CAPLUS

CN 5H-Pyrido[4,3-b]indole-5-acetic acid,
2-[(1,1-dimethylethoxy)carbonyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
GI



AB The title compds. I [wherein Z3 = N or CR7; R4-R7 = independently H, halo, haloalkyl, CO2H, alkoxy carbonyl, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, or aralkyl; R1 = CO2H, alkoxy carbonyl, (un)substituted aminocarbonyl, or tetrazolyl; Z4 = N or CR8; R8 = H, alkyl, or halo; R2 =

H or alkyl; R3 = -(CH2)_n-N(Y)-SO₂-Ar, etc.; n = 1-3; Y = H, alkyl, alkenyl, alkynyl, (un)substituted aryl, aralkyl, heteroarylalkyl, or arylalkenyl; Ar = (un)substituted aryl or heteroaryl] and prodrugs, pharmaceutically acceptable salts, or solvates thereof are prepared as CRTH2 receptor antagonists, and are useful for the treatment of allergic diseases (no data). For example, the compound II was prepared in a multi-step synthesis. II showed IC₅₀ of 0.0036 μ M against human CRTH2 receptor. Formulations containing I as an active ingredient were also described.

AN 2003:931327 CAPLUS

DN 140:4959

TI Preparation of indole derivatives as PGD2 receptor antagonists

IN Tanimoto, Norihiko; Hiramatsu, Yoshiharu; Mitsumori, Susumu; Inagaki, Masanao

PA Shionogi & Co., Ltd., Japan

SO PCT Int. Appl., 150 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

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PI	WO 2003097598	A1	20031127	WO 2003-JP6076	20030515
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				JP 2002-142126	A 20020516
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US	20050171143	A1	20050804	US 2004-514317	20041115
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

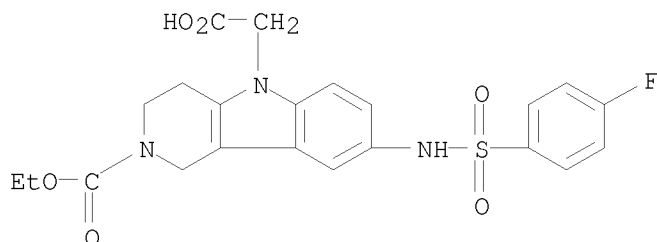
OS MARPAT 140:4959

IT 627867-83-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indole derivs. as PGD2 receptor antagonists)

RN 627867-83-8 CAPLUS
 CN 5H-Pyrido[4,3-b]indole-5-acetic acid,
 2-(ethoxycarbonyl)-8-[[(4-fluorophenyl)sulfonyl]amino]-1,2,3,4-tetrahydro-
 (CA INDEX NAME)



OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)
 RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
 AB Pseudopeptides X-Y-Z (X = arginine or lysine residue, Y is a hydrophobic organic moiety having a nitrogen atom at the X-Y junction and a carbonyl group at the Y-Z junction, Z is an arrangement of atoms which inherently adopts a beta turn conformation and has a pos. charge near the distal end) were prepared as bradykinin receptor antagonists. Thus, H-D-Arg-Arg-NH-p-C6H4N(COPh)CH2CONHCH2-o-C6H4CH:CHCH:CHCO-Arg-OH was prepared and showed Ki = 36 nM for binding of the human B2 bradykinin receptor.

AN 1998:650062 CAPLUS
 DN 129:290436
 OREF 129:59199a,59202a
 TI Pseudo- and non-peptide bradykinin receptor antagonists
 IN Kyle, Donald James; Mavunkel, Babu Joseph; Chakravarty, Sarjavit; Lu, Zhijian
 PA Scios Inc., USA
 SO U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 353,426, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 7

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PI	US 5817756	A	19981006	US 1995-401595	19950309
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				US 1993-119341	B2 19930909
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				US 1992-957879	A2 19921008
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US 5552383	A	19960903	US 1993-118550	19930909
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US 5541286	A	19960730	US 1994-281907	19940728
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US 5610142	A	19970311	US 1995-416524	19950403
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PATENT FAMILY INFORMATION:

FAN 1995:339374

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				US 1993-118558	B1 19930909

FAN 1995:810933

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 129:290436

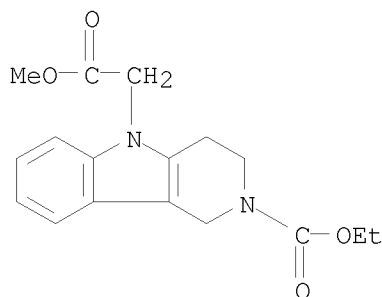
IT 168824-92-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of pseudo- and non-peptide bradykinin receptor antagonists)

RN 168824-92-8 CAPLUS

CN 5H-Pyrido[4,3-b]indole-5-acetic acid,
2-(ethoxycarbonyl)-1,2,3,4-tetrahydro-, methyl ester (CA INDEX NAME)



OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Peptide derivs. X-Y-Z [X = a moiety having a net pos. charge selected from
a pos. charged amino acid and an organic group; Y = a hydrophobic organic
moiety
(e.g. Q - Q3) having the following characteristics: (a) a N junction at
the X-Y junction, (b) a CO group at the Y-Z junction, (c) the hydrophobic
organic moiety between the N atom and the CO group which is selected from a

carbocyclic, a heterocyclic, and a linear organic moiety, (d) an atomic group in

the range of 135-300 Å, (e) an allowed conformation such that an end-to-end distance between the flanking N and CO atoms is .apprx.5.0±1.5 Å, and (f) provided that Y cannot consist of naturally occurring amino acids; Z = an arrangement of atoms which inherently adopt a β-turn conformation and has a pos. charge near the distal end] are prepared ABS wherein many (or all) of the peptide bonds of bradykinin are eliminated to yield compds. having, in appropriate spatial arrangement, two pos. charged moieties flanking a hydrophobic organic moiety and a moiety which mimics a beta turn conformation, and having the ability to specifically compete with native bradykinin for binding to the bradykinin B2 receptor. A pharmaceutical preparation for treating local pain and inflammation form burns, wounds, cuts, rashes, or other trauma, pathol. conditions caused by the production of bradykinin or related kinins, and in particular chronic inflammatory hyperalgesia contains an effective amount of the said peptide to antagonize bradykinin and a suitable pharmaceutical carrier. Thus, title peptides. (I; Tic = tetrahydroisoquinoline-3-carboxylic acid, Oic = (2S,3aS,7aS)-octahydro-1H-indole-2-carboxylic acid), (II), and H-D-Arg-Arg-X[c-C6H11]-CH2CO-Ser-D-Tic-Oic-Arg-OH were manually synthesized by the standard solid phase method using Boc-Arg(Tos)-PAM resin and, in a radioligand binding assay, showed competitive binding to the human bradykinin B2 receptor against tritiated 3[H]NPC17731 (a bradykinin analog).

AN 1995:846507 CAPLUS

DN 123:257408

OREF 123:46063a,46066a

TI Preparation of peptide compounds as pseudo- and non-peptide bradykinin receptor antagonists

IN Kyle, Donald James; Mavunkel, Babu Joseph; Lu, Zhijian

PA Scios Nova Inc., USA

SO PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 7

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PATENT FAMILY INFORMATION:

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EP 716661	A1	19960619	EP 1994-929158	19940909		
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

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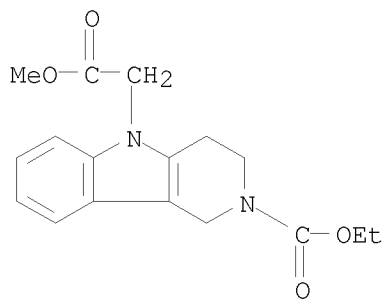
IT 168824-92-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate for preparation of peptide compds. as pseudo- and non-peptide
bradykinin receptor antagonists)

RN 168824-92-8 CAPLUS

CN 5H-Pyrido[4,3-b]indole-5-acetic acid,
2-(ethoxycarbonyl)-1,2,3,4-tetrahydro-, methyl ester (CA INDEX NAME)



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

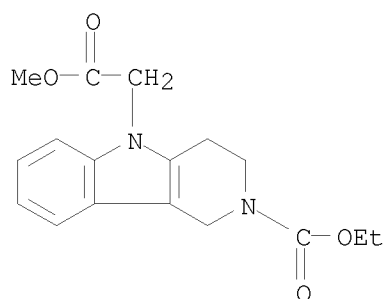
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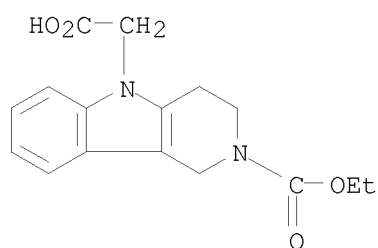
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RN 168824-92-8 CAPLUS

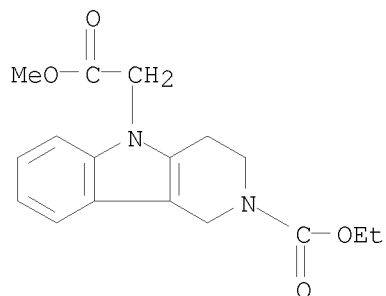
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2-(ethoxycarbonyl)-1,2,3,4-tetrahydro-, methyl ester (CA INDEX NAME)



RN 213814-90-5 CAPLUS
 CN 5H-Pyrido[4,3-b]indole-5-acetic acid,
 2-(ethoxycarbonyl)-1,2,3,4-tetrahydro- (CA INDEX NAME)



L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
 IT 168824-92-8P 168824-93-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate for preparation of peptide compds. as pseudo- and non-peptide
 bradykinin receptor antagonists)
 RN 168824-92-8 CAPLUS
 CN 5H-Pyrido[4,3-b]indole-5-acetic acid,
 2-(ethoxycarbonyl)-1,2,3,4-tetrahydro-, methyl ester (CA INDEX NAME)



RN 168824-93-9 CAPLUS
 CN 5H-Pyrido[4,3-b]indole-5-acetic acid,

2-[(1,1-dimethylethoxy)carbonyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)

